

Listing of Claims

This listing of claims will replace all prior versions and listings of claims in the application.

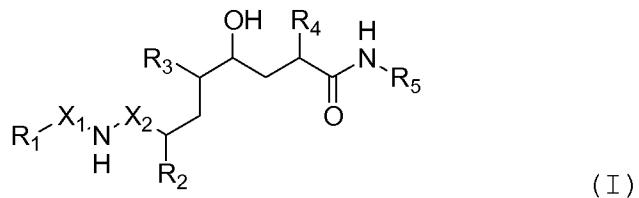
Claims 1-2. (cancelled) A method according to claim 5, wherein the disease is Alzheimer's disease.

Claim 3. (currently amended) A method of treating Alzheimer's disease by modulating the activity of beta amyloid converting enzyme, comprising administering to a subject in need of such treatment a compound disclosed in claim 5 ~~claim 1~~, or a pharmaceutically acceptable salt thereof.

Claim 4. (currently amended) The method according to claim 5 ~~claim 1~~, further comprising the administration of a P-gp inhibitor, or a pharmaceutically acceptable salt thereof.

Claim 5. (original) A method of treating a subject who has, or is preventing a subject from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin,

dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of which comprises administering a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt thereof:



wherein  $R_1$  is a  $2-R_A-3-R_B$ -phenyl radical, a  $2-R_A-4-R_C$ -phenyl radical, a  $2-R_A$ -pyridin-3-yl radical a  $3-R_A$ -pyridin-2-yl radical or a  $1-R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom.

Claim 6. (previously presented) The method according to claim 5 wherein the compound of formula (I) is selected from the group consisting of:

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(7-Butylcarbamoyl-4-formylamino-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-1-Benzyl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxyethyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-Pyridin-2-yl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxybenzyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-propoxy-benzamide;

(2S,4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-methoxyethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(2-methoxyethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-3-(3-methoxypropoxy)-benzamide;

4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(propoxymethyl)-benzamide;

4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-acetamido-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(acetamido)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybut-2-enoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butyloxycarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-methylbenzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butyloxycarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butyloxycarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-(4-Amino-7-butyloxycarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-hydroxy-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butyloxycarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(methoxymethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(2-methoxyethoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ethoxycarbamoyl)-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybut-2-enoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(5-methoxypentyloxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(3-morpholin-4-ylpropylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-[3-(dimethylamino)-propoxy]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(piperidin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(pyrrolidin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-piperidin-1-ylethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-dimethylaminomethyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(4-methylpipеразин-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-(4-acetyl pipеразин-1-yl)methyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-aminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-aminoethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(4-acetyl pipеразин-1-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-dimethylaminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[3-(morpholin-4-yl)-propoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-methoxypiperidin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-acetyl pipеразин-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[2-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[3-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(4-methoxybutoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(5-methoxypentyloxy)-benzyl]amide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-methyl-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-[(2-morpholin-4-yl)-ethyl]-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-3-(4-methoxybutoxy)-terephthamic acid;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-butylcarbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-[4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonylcarbamoyl)-3-(4-methoxybutoxy)-phenoxy]-acetic acid;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethylcarbamoylmethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(1H-tetrazol-5-ylmethoxy)-benzamide;

(2S,4S,5S,7S,2R')-N-[4-Amino-7-(2'-methylcarbamoyl-  
propylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-  
methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-[2-(dimethylaminocarbamoyl)-  
ethylcarbamoyl]-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-  
methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(3-carbamoylpropylcarbamoyl)-5-  
hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-  
benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-  
methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-  
(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-  
[3-(morpholin-4-yl)-3-oxopropylcarbamoyl]-nonyl]-2-(4-  
methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[7-[2-(4-Acetylethylcarbamoyl]-4amino-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-  
(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-  
(2-thiomorpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(4-  
methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-  
methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-  
(4-methoxybutoxy)-4-(2-morpholin-4-ylmethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-  
methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-  
(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-  
methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-  
(2-morpholin-4-ylethoxy)-benzamide;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-7-[2-(4-methoxycarbonylpiperidin-1-yl)-ethylcarbamoyl]-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

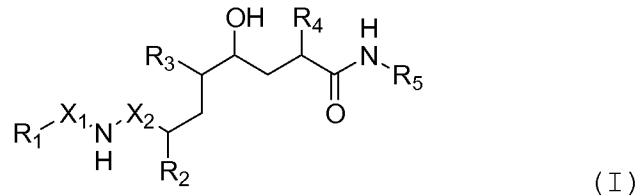
(2S,4S,5S,7R)-N-[4-Amino-5-hydroxy-2-methyl-7-[2-(morpholin-4-ylethyl)-carbamoyl]-octyl]-2-(3-methoxypropoxy)-benzamide; and

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethyl-carbamoyl]-nonyl}-4-carbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

or pharmaceutically acceptable salts thereof.

Claims 7-8 (cancelled)

Claim 9. (original) A method for inhibiting beta-secretase activity, comprising contacting an effective amount for inhibition of a compound of formula (I):



wherein  $R_1$  is a  $2-R_A-3-R_B$ -phenyl radical, a  $2-R_A-4-R_C$ -phenyl radical, a  $2-R_A$ -pyridin-3-yl radical a  $3-R_A$ -pyridin-2-yl radical or a  $1-R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heterarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

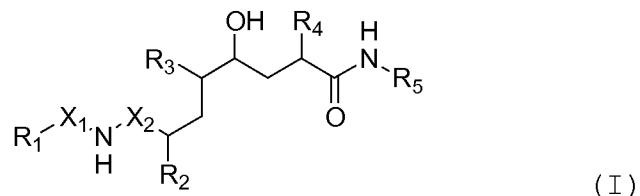
$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

Claim 10. (cancelled)

Claim 11. (original) A method for inhibiting production of amyloid beta peptide ( $A_{\beta}$ ) in a cell, comprising administering to said cell an effective inhibitory amount of a compound of formula (I):



wherein  $R_1$  is a  $2-R_A-3-R_B$ -phenyl radical, a  $2-R_A-4-R_C$ -phenyl radical, a  $2-R_A$ -pyridin-3-yl radical a  $3-R_A$ -pyridin-2-yl radical or a  $1-R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

Claim 12. (original) The method of claim 11, wherein the cell is an animal cell.

Claim 13. (original) The method of claim 12, wherein the animal cell is a mammalian cell.

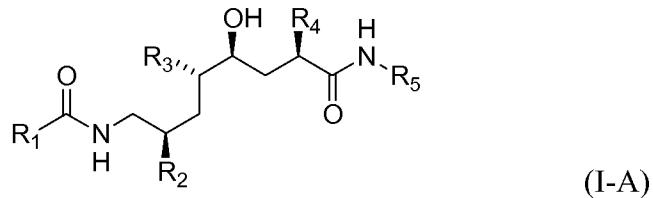
Claim 14. (original) The method of claim 13, wherein the mammalian cell is human.

Claim 15-19. (cancelled)

Claim 20. (previously presented) A method of treatment according to claim 5, further comprising administration of one or more therapeutic agents selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetyl cholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta peptide.

Claim 21. (cancelled)

Claim 22. (previously presented) A method of according to claim 1 where the compound is represented by Formula (I-A) or a pharmaceutically acceptable salt thereof:



wherein R<sub>1</sub> is a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical or a 3-R<sub>A</sub>-pyridin-2-yl radical, wherein

R<sub>A</sub>, is C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, such as propyloxymethyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetylpiperazinomethyl, C<sub>1</sub>-C<sub>7</sub> alkoxy, such as propyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, such as 4-methoxy-but-2-enyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-aminoethoxy or 3-aminopropoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-

dimethylaminopropoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

R<sub>c</sub> is hydrogen, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as dimethylaminomethyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as piperidinomethyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as pyrrolidinomethyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as morpholinomethyl, C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetyl piperazinomethyl, or C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methylpiperazinomethyl, morpholino, C<sub>1</sub>-C<sub>4</sub> alkoxy, such as methoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, such as methylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as carboxymethoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-dimethylaminopropoxy, C<sub>1</sub>-C<sub>7</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as tetrazol-5-ylmethoxy,

X<sub>1</sub> is carbonyl and X<sub>2</sub> is methylene,

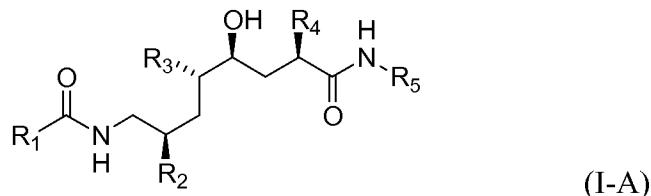
R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl, such as methyl or isopropyl,

R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, such as butyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-dimethylcarbamoylethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methylpiperazinomethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-

$C_1$ - $C_4$  alkyl, such as  $N'$ -methoxycarbonylpiperazinomethyl, or  $N'$ - $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as  $N'$ -acetyl piperazinomethyl.

Claim 23. (previously presented) A method according to claim 20, wherein the compound is represented by formula (I-A), or a pharmaceutically acceptable salt thereof:



wherein  $R_1$  is a  $2-R_A-4-R_C$ -phenyl radical, a  $2-R_A$ -pyridin-3-yl radical or a  $3-R_A$ -pyridin-2-yl radical, wherein

$R_A$ , is  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, such as propyloxymethyl, morpholino- $C_1$ - $C_4$  alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as  $N'$ -acetyl piperazinomethyl,  $C_1$ - $C_7$  alkoxy, such as propyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkenyloxy, such as 4-methoxy-but-2-enyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino- $C_1$ - $C_4$  alkoxy, such as 2-aminoethoxy or 3-aminopropoxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, such as 3-dimethylaminopropoxy, carbamoyl- $C_1$ - $C_4$  alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

$R_C$  is hydrogen, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, such as dimethylaminomethyl, piperidino- $C_1$ - $C_4$  alkyl, such as piperidinomethyl, pyrrolidino- $C_1$ - $C_4$  alkyl, such as pyrrolidinomethyl, morpholino- $C_1$ - $C_4$  alkyl, such as morpholinomethyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as

N'-acetyl piperazinomethyl, or  $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-methyl piperazinomethyl, morpholino,  $C_1$ - $C_4$  alkoxy, such as methoxy, morpholino- $C_1$ - $C_4$  alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropoxy, morpholino- $C_1$ - $C_4$  alkylcarbamoyl- $C_1$ - $C_4$  alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino- $C_1$ - $C_4$  alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl,  $C_1$ - $C_4$  alkylcarbamoyl, such as methylcarbamoyl, carboxy- $C_1$ - $C_4$  alkoxy, such as carboxymethoxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, such as 3-dimethylaminopropoxy,  $C_1$ - $C_7$  alkylcarbamoyl- $C_1$ - $C_4$  alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl- $C_1$ - $C_4$  alkoxy, such as tetrazol-5-ylmethoxy,

$X_1$  is carbonyl and  $X_2$  is methylene,

$R_2$  and  $R_4$  are each independently of the other  $C_1$ - $C_4$  alkyl, such as methyl or isopropyl,

$R_3$  is amino and

$R_5$  is  $C_1$ - $C_4$  alkyl, such as butyl, morpholino- $C_1$ - $C_4$  alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino- $C_1$ - $C_4$  alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl- $C_1$ - $C_4$  alkyl, such as 2-morpholinocarbonylethyl, carbamoyl- $C_1$ - $C_4$  alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl,  $C_1$ - $C_4$  alkylcarbamoyl- $C_1$ - $C_4$  alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di- $C_1$ - $C_4$  alkylcarbamoyl- $C_1$ - $C_4$  alkyl, such as 2-dimethylcarbamoylethyl, N'- $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-methyl piperazinomethyl, N'- $C_1$ - $C_4$  alkoxy carbonylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'- $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-acetyl piperazinomethyl.

Claim 24. (cancelled)

Claim 25. (original) A method according to claim 5,  
wherein

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical,  
a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical, wherein

one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom, or a pharmaceutically acceptable salt thereof.

Claim 26. (original) The method according to claim 25,  
wherein

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical,  
a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl; an amino-lower alkyl or amino-lower alkoxy radical that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy, unsubstituted or substituted phenyl- or pyridyl-lower alkoxy, lower alkoxy-lower alkenyloxy, optionally S-oxidised lower alkylthio-lower alkoxy, or amino-lower alkoxy that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; and the other is hydrogen, lower alkyl, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

$R_C$  is hydrogen, lower alkyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, lower alkoxy-lower alkoxy-lower alkyl; an amino, amino-lower alkyl or amino-lower alkoxy group that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower

alkylene, hydroxy-, lower alkoxy-, lower alkoxycarbonyl- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; or a free or amidated carboxy or carboxy-lower alkoxy group or tetrazolyl-lower alkoxy, and

$R_D$  is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, a free or amidated carboxy or carboxy-lower alkyl group or an unsubstituted or substituted phenyl- or pyridyl-lower alkyl group, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is lower alkyl,

$R_3$  is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated amino,

$R_4$  is lower alkyl or phenyl-lower alkyl, and

$R_5$  is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; amino-lower alkyl that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy-, lower alkoxy-lower alkyl- or lower alkanoyloxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; free or esterified or amidated carboxy-lower alkyl, cyano-lower alkyl, free or esterified or amidated dicarboxy-lower alkyl, free or esterified or amidated carboxy(hydroxy)-lower alkyl, free or esterified or amidated carboxycycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl,

unsubstituted or N-mono- or N,N-di-lower alkylated thio carbamoyl-lower alkyl, unsubstituted or N-mono- or N,N-di-lower alkylated sulfamoyl-lower alkyl or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or lower alkyl substituted by an optionally hydrogenated and/or oxo-substituted heteroaryl radical that is bonded via a carbon atom, or a pharmaceutically acceptable salt thereof.

Claim 27. (original) A method according to claim 25 wherein,

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical, wherein

one of the radicals  $R_A$  and  $R_B$  is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or  $N'$ -lower alkylated,  $N'$ -lower alkanoylated or  $N'$ -substituted by lower alkoxy carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or  $N'$ -lower alkylated,  $N'$ -lower alkanoylated or  $N'$ -substituted by lower alkoxy carbonyl or by lower alkoxy-lower

alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy; phenyl- or pyridyl-lower alkoxy that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl; lower alkoxy-lower alkenyloxy, lower alkylthio-lower alkoxy, lower alkanesulfinyl-lower alkoxy, lower alkanesulfonyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy or optionally S-oxidised thiomorpholino-lower alkoxy, and the other is hydrogen, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

$R_C$  is hydrogen, lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, di-lower alkylamino; a piperidino or

pyrrolidino group that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino, optionally S-oxidised thiomorpholino, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, carboxy-lower alkoxy, carbamoyl-lower alkoxy, lower alkylcarbamoyl-lower alkoxy, di-lower alkylcarbamoyl-lower alkoxy; piperidino- or pyrrolidino-carbonyl-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkoxy, optionally S-oxidised thiomorpholinocarbonyl-lower alkoxy, tetrazolyl-lower alkoxy, carboxy, carbamoyl, lower alkylcarbamoyl or di-lower alkylcarbamoyl, and R<sub>D</sub> is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, carboxy, lower alkoxy carbonyl, carboxy-lower alkyl, lower

alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-lower alkylcarbamoyl-lower alkyl; piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-carbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl or a phenyl- or pyridyl-lower alkyl group that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl,

one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is lower alkyl,

$R_3$  is amino, lower alkanoylamino, lower alkylamino or di-lower alkylamino,

$R_4$  is lower alkyl or phenyl-lower alkyl and

$R_5$  is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-

lower alkylcarbamoyl-lower alkyl; piperidino- or pyrrolidinocarbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, cyano-lower alkyl, dicarboxy-lower alkyl, lower alkoxycarbonyl(carbonyl)-lower alkyl, di-lower alkoxycarbonyl-lower alkyl, dicarbamoyl-lower alkyl, carbamoyl(carboxy)-lower alkyl, di-(lower alkylcarbamoyl)-lower alkyl, di-(di-lower alkylcarbamoyl)-lower alkyl, carboxy(hydroxy)-lower alkyl, lower alkoxycarbonyl(hydroxy)-lower alkyl, carbamoyl(hydroxy)-lower alkyl, lower alkylcarbamoyl(hydroxy)-lower alkyl or di-lower alkylcarbamoyl(hydroxy)-lower alkyl, carboxycycloalkyl-lower alkyl, lower alkoxycarbonylcycloalkyl-lower alkyl, carbamoylcycloalkyl-lower alkyl, lower alkylcarbamoylcycloalkyl-lower alkyl, di-lower alkylcarbamoylcycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, thiocarbamoyl-lower alkyl, N-lower alkylthiocarbamoyl-lower alkyl or N,N-di-lower alkylthiocarbamoyl-lower alkyl, sulfamoyl-lower alkyl, lower alkylsulfamoyl-lower alkyl or di-lower alkylsulfamoyl-lower alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-lower alkyl, imidazolyl-lower alkyl, benzimidazolyl-lower alkyl, oxadiazolyl-lower alkyl, pyridyl-lower alkyl, oxopiperidinyl-lower alkyl, dioxopiperidinyl-lower alkyl, oxothiazolyl-lower alkyl, oxo-oxazolinyl-lower alkyl or quinolinyl-lower alkyl,

morpholinocarbonyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

Claim 28. (original) A method according to claim 25 wherein,

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical, wherein

one of the radicals  $R_A$  and  $R_B$  is  $C_1$ - $C_4$  alkyl, hydroxy- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkanoyloxy- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, amino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkanoylamino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, piperidino- $C_1$ - $C_4$ -alkyl, hydroxypiperidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy(piperidino- $C_1$ - $C_4$ ) alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$ -alkoxypiperidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy carbonylpiperidino- $C_1$ - $C_4$  alkyl, pyrrolidino- $C_1$ - $C_4$  alkyl, hydroxypyrrrolidino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy(pyrrolidino- $C_1$ - $C_4$ ) alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy(pyrrolidino- $C_1$ - $C_4$ ) alkyl, piperazino- $C_1$ - $C_4$  alkyl,  $N'$ - $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl,  $N'$ - $C_1$ - $C_4$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl,  $N'$ - $C_1$ - $C_4$  alkoxy carbonylpiperazino- $C_1$ - $C_4$  alkyl,  $N'$ - $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl, morpholino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkylmorpholino- $C_1$ - $C_4$  alkyl, thiomorpholino- $C_1$ - $C_4$  alkyl, S-oxypyrimorpholino- $C_1$ - $C_4$  alkyl, S,S-dioxythiomorpholino- $C_1$ - $C_4$  alkyl,  $C_1$ - $C_7$  alkoxy, such as propyloxy, amino- $C_1$ - $C_7$  alkoxy,  $C_1$ - $C_4$  alkanoylamino- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, piperidino- $C_1$ - $C_4$  alkoxy, hydroxypiperidino- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy(piperidino- $C_1$ - $C_4$ ) alkyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$ -alkoxypiperidino- $C_1$ - $C_4$  alkyl,

pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoyloxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, polyhalo-C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-carbamoylethoxy; phenyl- or pyridyl-C<sub>1</sub>-C<sub>4</sub> alkoxy that is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino, di-C<sub>1</sub>-C<sub>4</sub> alkylamino, halogen and/or by trifluoromethyl; C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, C<sub>1</sub>-C<sub>4</sub> alkylthio-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanesulfinyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanesulfonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, and the other is hydrogen, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy or trihalo-C<sub>1</sub>-C<sub>4</sub> alkoxy, R<sub>C</sub> is hydrogen, hydroxy, di-C<sub>1</sub>-C<sub>4</sub>

alkylamino, piperidino, pyrrolidino, morpholino, thiomorpholino, S-oxythiomorpholino, S,S-dioxythiomorpholino, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, amino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl; piperidino- or pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl that is unsubstituted or substituted by hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy or by C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl; amino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxypiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>

alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub>-alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-dimethylaminopropoxy, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylpiperazinocarbonyl or N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylipiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylmorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, thiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, S-oxythiomorpholinocarbonyl, S,S-dioxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy, carbamoyl or C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, such as methylcarbamoyl, and

R<sub>D</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>

alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, or is phenyl-C<sub>1</sub>-C<sub>4</sub> alkyl or pyridyl-C<sub>1</sub>-C<sub>4</sub> alkyl that is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino, di-C<sub>1</sub>-C<sub>4</sub> alkylamino, halogen and/or by trifluoromethyl,

one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl,

R<sub>3</sub> is amino, C<sub>1</sub>-C<sub>4</sub> alkanoylamino, C<sub>1</sub>-C<sub>4</sub> alkylamino or di-C<sub>1</sub>-C<sub>4</sub> alkylamino,

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl-C<sub>1</sub>-C<sub>4</sub> alkyl, and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoyloxy-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub>

alkyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>1</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkyl piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoyl piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl piperazinocarbonyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, cyano-C<sub>1</sub>-C<sub>4</sub> alkyl, dicarboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl (carboxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, dicarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl (carboxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-(C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-(di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl)-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl (hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkyl carbamoyl cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanesulfonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, thiocabamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N-C<sub>1</sub>-C<sub>4</sub> alkyl thiocabamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl or N,N-di-C<sub>1</sub>-C<sub>4</sub> alkyl thiocabamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, sulfamoyl-

$C_1-C_4$  alkyl,  $C_1-C_4$  alkylsulfamoyl- $C_1-C_4$  alkyl or di- $C_1-C_4$  alkylsulfamoyl- $C_1-C_4$  alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl- $C_1-C_4$  alkyl, imidazolyl- $C_1-C_4$  alkyl, benzimidazolyl- $C_1-C_4$  alkyl, oxadiazolyl- $C_1-C_4$  alkyl, pyridyl- $C_1-C_4$  alkyl, oxopiperidinyl- $C_1-C_4$  alkyl, dioxopiperidinyl- $C_1-C_4$  alkyl, oxothiazolyl- $C_1-C_4$  alkyl, oxo-oxazolinyl- $C_1-C_4$  alkyl or quinolinyl- $C_1-C_4$  alkyl, morpholinocarbonyl- $C_1-C_4$  alkyl or unsubstituted or N- $C_1-C_4$  alkanoylated piperidyl- $C_1-C_4$  alkyl or unsubstituted or N- $C_1-C_4$  alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

Claim 29. (original) A method according to claim 25,  
wherein

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical, wherein

one of the radicals  $R_A$  and  $R_B$  is  $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkyl, di- $C_1-C_4$  alkylamino- $C_1-C_4$  alkyl, piperidino- $C_1-C_4$  alkyl,  $C_1-C_4$  alkanoylpiperidinyl- $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxycarbonylpiperidino- $C_1-C_4$  alkyl, pyrrolidino- $C_1-C_4$  alkyl, piperazino- $C_1-C_4$  alkyl, N'- $C_1-C_4$  alkylpiperazino- $C_1-C_4$  alkyl, N'- $C_1-C_4$  alkanoylpiperazino- $C_1-C_4$  alkyl, morpholino- $C_1-C_4$  alkyl,  $C_1-C_4$  alkylmorpholino- $C_1-C_4$  alkyl, thiomorpholino- $C_1-C_4$  alkyl, amino- $C_1-C_7$  alkoxy,  $C_1-C_4$  alkanoylamino- $C_1-C_4$  alkoxy, di- $C_1-C_4$  alkylamino- $C_1-C_4$  alkoxy, piperidino- $C_1-C_4$  alkoxy, morpholino- $C_1-C_4$  alkoxy, hydroxy,  $C_1-C_7$  alkoxy,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkoxy,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkoxy,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkoxy,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkenyloxy, amino- $C_1-C_4$  alkoxy,  $C_1-C_4$  alkanoylamino- $C_1-C_4$  alkoxy, di-+ $C_1-C_4$  alkylamino- $C_1-C_4$  alkoxy,

$C_4$  alkoxy, piperidino- $C_1-C_4$  alkoxy, morpholino- $C_1-C_4$  alkoxy, carbamoyl or carbamoyl- $C_1-C_4$  alkoxy, and the other is hydrogen,  $C_1-C_4$  alkyl, such as methyl, hydroxy or  $C_1-C_4$  alkoxy,

$R_C$  is hydrogen, hydroxy,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkoxy, morpholino- $C_1-C_4$  alkylcarbamoyl- $C_1-C_4$  alkoxy, di- $C_1-C_4$  alkylamino- $C_1-C_4$  alkyl, piperidino- $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxycarbonylpiperidino- $C_1-C_4$  alkyl, pyrrolidino- $C_1-C_4$  alkyl, piperazinocarbonyl- $C_1-C_4$  alkyl,  $N'-C_1-C_4$  alkylpiperazinocarbonyl- $C_1-C_4$  alkyl,  $N'-C_1-C_4$  alkanoylpiperazinocarbonyl- $C_1-C_4$  alkyl, morpholino, morpholino- $C_1-C_4$  alkyl, thiomorpholino- $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy, amino- $C_1-C_1-C_4$  alkoxy,  $C_1-C_4$  alkanoylamino- $C_1-C_4$  alkoxy, di- $C_1-C_4$  alkylamino- $C_1-C_4$  alkoxy, piperidino- $C_1-C_4$  alkoxy, morpholino- $C_1-C_4$  alkylcarbamoyl- $C_1-C_4$  alkoxy, carbamoyl,  $C_1-C_4$  alkylcarbamoyl, carboxy- $C_1-C_4$  alkoxy, carbamoyl- $C_1-C_4$  alkoxy,  $C_1-C_4$  alkylcarbamoyl- $C_1-C_4$  alkoxy, di- $C_1-C_4$  alkylamino- $C_1-C_4$  alkoxy or tetrazolyl- $C_1-C_4$  alkoxy, and

$R_D$  is  $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkyl, carbamoyl- $C_1-C_4$  alkyl,  $C_1-C_4$  alkylcarbamoyl- $C_1-C_4$  alkyl, di- $C_1-C_4$  alkylcarbamoyl- $C_1-C_4$  alkyl, piperidino- $C_1-C_4$  alkyl, or  $C_1-C_4$  alkoxycarbonylpiperidino- $C_1-C_4$  alkyl,

one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is  $C_1-C_4$  alkyl,

$R_3$  is amino or  $C_1-C_4$  alkanoylamino,

$R_4$  is  $C_1-C_4$  alkyl, and

$R_5$  is  $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy- $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxycarbonylpiperidino- $C_1-C_4$  alkyl, pyrrolidino- $C_1-C_4$  alkyl,  $N'-C_1-C_4$  alkylpiperazino- $C_1-C_4$  alkyl,  $N'-C_1-C_4$  alkoxycarbonylpiperazino- $C_1-C_4$  alkyl or  $N'-C_1-C_7$  alkanoylpiperazino- $C_1-C_4$  alkyl, morpholino- $C_1-C_4$  alkyl, thiomorpholino- $C_1-C_4$  alkyl, morpholinocarbonyl- $C_1-C_4$  alkyl,

carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, or morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,

or a pharmaceutically acceptable salt thereof.

Claim 30. (original) A method according to claim 23,  
wherein

R<sub>1</sub> is a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical or a 3-R<sub>A</sub>-pyridin-2-yl radical, wherein

R<sub>A</sub> is C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy or carbamoyl, and

R<sub>C</sub> is hydrogen, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>7</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy or tetrazolyl-C<sub>1</sub>-C<sub>7</sub> alkoxy,

X<sub>1</sub> is carbonyl and X<sub>2</sub> is methylene,

R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl,

R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub>

alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
or a pharmaceutically acceptable salt thereof.